

L3 STR

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=> s l3 ful

FULL SEARCH INITIATED 12:31:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 503 TO ITERATE

100.0% PROCESSED 503 ITERATIONS

425 ANSWERS

SEARCH TIME: 00.00.01

L4 425 SEA SSS FUL L3

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

334.32

334.74

FILE 'CAPLUS' ENTERED AT 12:31:46 ON 11 NOV 2006

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=> s l4

L5 3 L4

=> d 1-3 fbib abs fhitr

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1075795 CAPLUS

DN 143:347334

TI Preparation of quinuclidine indazole, benzothiazole, benzisothiazole and benzisoxazoles as ligands for the $\alpha 7$ nicotinic acetylcholine receptor

IN Xie, Wenge; Herbert, Brian; Schumacher, Richard; Nguyen, Truc Minh; Ma, Jianguo; Gauss, Carla Maria; Tehim, Ashok

PA Memory Pharmaceuticals Corporation, USA

SO PCT Int. Appl., 300 pp.

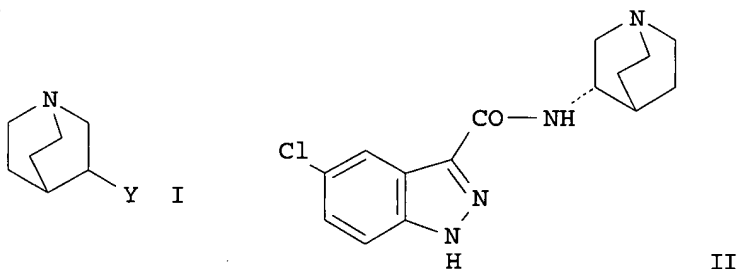
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005092890	A2	20051006	WO 2005-US10120	20050325
	WO 2005092890	A3	20060202		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2004-555951P	P 20040325
				US 2004-616033P	P 20041006
	US 2005234095	A1	20051020	US 2005-89533	20050325
				US 2004-555951P	P 20040325
				US 2004-616033P	P 20041006
OS	MARPAT 143:347334				
GI					



AB Quinuclidine derivs. of formula I [Y = NR₁C(X)A, C(X)NR₁A, NR₁CH₂A, CH₂NR₁A; A = (substituted) indazole, benzothiazole, benzoisothiazole or benzisoxazole; X = O, S; R₁ = H, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl] are prepared as ligands for nicotinic acetylcholine receptors (nACh receptors), especially the α₇ nACh receptor subtype. The compds. can be used for the treatment of disease conditions associated with defective or malfunctioning nicotinic acetylcholine receptors, especially of the

brain. Thus, II was prepared The binding affinities of the prepared compds. were between 2 nM and 25 μM.

IT 865884-27-1P

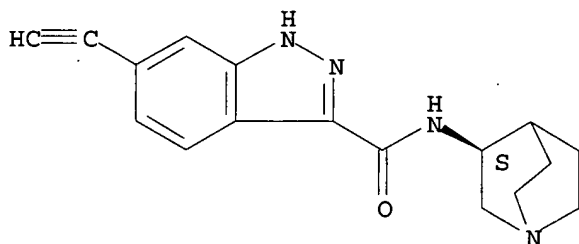
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of quinuclidine indazole, benzothiazole, benzoisothiazole and benzisoxazole derivs. as ligands for α₇ nACh receptor subunit)

RN 865884-27-1 CAPLUS

CN 1H-Indazole-3-carboxamide, N-(3S)-1-azabicyclo[2.2.2]oct-3-yl-6-ethynyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

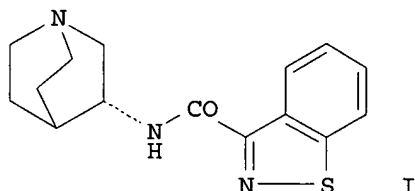


L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:287845 CAPLUS
DN 140:321562
TI Preparation of quinuclidinyl indazoles, benzothiazoles and
benzothiazoles for use in pharmaceutical compositions as nicotinic
acetylcholine receptor ligands
IN Tehim, Ashok; Herbert, Brian; Nguyen, Truc Minh; Xie, Wenge; Gauss, Carla
Maria
PA Memory Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004029050	A1	20040408	WO 2003-US29976	20030925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,				
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,				
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,				
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,				
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
CA 2499128	AA	20040408	CA 2003-2499128	20030925
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
			WO 2003-US29976	W 20030925
AU 2003276919	A1	20040419	AU 2003-276919	20030925
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
			WO 2003-US29976	W 20030925
US 2004132790	A1	20040708	US 2003-669645	20030925
			US 2002-413151P	P 20020925
			US 2003-448469P	P 20030221
EE 200500011	A	20050615	EE 2005-11	20030925
			US 2002-413151P	P 20020925

			US 2003-448469P	P	20030221
			WO 2003-US29976	W	20030925
EP 1543000	A1	20050622	EP 2003-798723		20030925
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			US 2002-413151P	P	20020925
			US 2003-448469P	P	20030221
			WO 2003-US29976	W	20030925
BR 2003014485	A	20050726	BR 2003-14485		20030925
			US 2002-413151P	P	20020925
			US 2003-448469P	P	20030221
			WO 2003-US29976	W	20030925
CN 1684962	A	20051019	CN 2003-823009		20030925
			US 2002-413151P	P	20020925
			US 2003-448469P	P	20030221
JP 2006503851	T2	20060202	JP 2004-540191		20030925
			US 2002-413151P	P	20020925
			US 2003-448469P	P	20030221
			WO 2003-US29976	W	20030925
ZA 2005002465	A	20051121	ZA 2005-2465		20050324
			US 2002-413151P	P	20020925
BG 109117	A	20051230	BG 2005-109117		20050411
			US 2002-413151P	P	20020925
			US 2003-448469P	P	20030221
NO 2005001985	A	20050609	NO 2005-1985		20050422
			US 2002-413151P	P	20020925
			US 2003-448469P	P	20030221
			WO 2003-US29976	W	20030925

OS MARPAT 140:321562
GI



AB Quinuclidine derivs., such as RNHC(:X)W, RC(:X)NHW, RNHCH₂W and RCH₂NHW [R = quinuclidinyl; W = indazolyl, benzothiazolyl, benzoisothiazolyl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor $\alpha 7$ ($\alpha 7$ nAChR) ligands for the treatment of psychotic or neurodegenerative diseases and disorders involving dysfunction of the cholinergic system. These quinuclidines are claimed for use in the treatment of dementia or memory impairment due to mild cognitive impairment due to Alzheimer's disease, schizophrenia, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, depression, aging, head trauma, stroke, CNS hypoxia, cerebral senility, or multiinfarct dementia. These quinuclidines are also claimed for use in the treatment of intoxication, damage associated with strokes, ischemia and glutamate-induced excitotoxicity, smoking cessation or nicotine addiction, pain, jet lag, obesity, diabetes, mild cognitive impairment (MCI), vascular dementia (VaD), age-associated cognitive decline (AACD), amnesia

associated with open-heart-surgery, cardiac arrest, general anesthesia, memory deficits from exposure to anesthetic agents, sleep deprivation induced cognitive impairment, chronic fatigue syndrome, narcolepsy, AIDS-related dementia, epilepsy-related cognitive impairment, Down's syndrome, alcoholism related dementia, drug/substance induced memory impairments, dementia puglistica (boxer syndrome), or loss of cholinergic synapses. Thus, N-quinuclidinyl-amide I was prepared via an amidation reaction of 1,2-benzisothiazole-3-carboxylic acid with 3-(R)-aminoquinuclidine dihydrochloride in a 5/1 mixture of THF/DMF using diisopropylethylamine and HATU. $\alpha 7$ NACHR activity of the prepared quinuclidines were determined using rat brain tissue in a competition assay with [3H]-MLA.

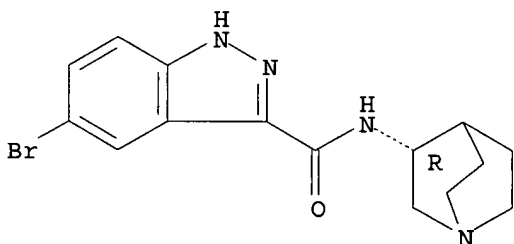
IT 677305-07-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-quinuclidinyl indazoles, benzothiazoles and benzoisothiazoles for use in pharmaceutical compns. as nicotinic acetylcholine receptor ligands)

RN 677305-07-6 CAPLUS

CN 1H-Indazole-3-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-5-bromo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:597958 CAPLUS

DN 135:166827

TI Preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases

IN Leftheris, Katerina; Zhao, Rulin; Chen, Bang-Chi; Kiener, Peter; Wu, Hong; Pandit, Chennagiri R.; Wroblewski, Stephen; Chen, Ping; Hynes, John, Jr.; Longphre, Malinda; Norris, Derek J.; Spergel, Steven; Tokarski, John

PA Bristol-Myers Squibb Company, USA; et al.

SO PCT Int. Appl., 199 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058869	A2	20010816	WO 2001-US4131	20010208
	WO 2001058869	A3	20020124		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2399791 AA 20010816 US 2000-181818P P 20000211
CA 2001-2399791 20010208
US 2000-181818P P 20000211
WO 2001-US4131 W 20010208

AU 2001034958 A5 20010820 AU 2001-34958 20010208
US 2000-181818P P 20000211
WO 2001-US4131 W 20010208

EP 1254115 A2 20021106 EP 2001-907144 20010208

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004502642 T2 20040129 US 2000-181818P P 20000211
WO 2001-US4131 W 20010208
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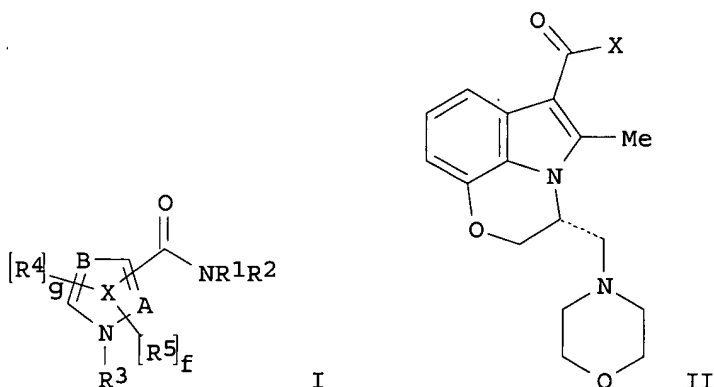
PATENT FAMILY INFORMATION:

FAN 2003:261065

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003065453	A1	20030403	US 2002-164473	20020605
			US 2001-779109	A2 20010208
			US 2001-296358P	P 20010605
			US 2001-297057P	P 20010607
US 2002119972	A1	20020829	US 2001-779109	20010208
US 6653304	B2	20031125		
			US 2000-181818P	P 20000211

OS MARPAT 135:166827

GI



AB The title compds. [I; A, B = C, N so that ring X = pyrrole, pyrazole or imidazole (wherein when A = N, the group CONR₁R₂ is attached to atom C-3 and R₅ does not exist; and when A = C, one of CONR₁R₂ and R₅ is attached

to A and the other to atom C-3; and when B = C, two R4 groups attached to B and atom C-5, resp., form a fused 6-membered heteroaryl); f = 0-1; g = 1-2; R1, R2 = H, alkyl, heterocycloalkyl, etc.; R2 together with R1 or R5 forms a 5-6 membered heterocyclo; R3 = H, alkyl, aryl, etc.; R4 is attached to atom C-5 and optionally B and is H, alkyl, aryl, etc.; R5 is attached to A or atom C-3 and is H, alkyl, aryl, etc.; R5 together with R2 forms a heterocyclo], useful as cannabinoid receptor modulators (no data given) for treating respiratory and non-respiratory leukocyte-activation associated diseases, were prepared. Thus, reacting the acid chloride II [X = Cl] (multi-step synthesis given) with 2,2,6,6-tetramethylcyclohexylamine afforded the pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamide II [X = 2,2,6,6-tetramethylcyclohexylamino].

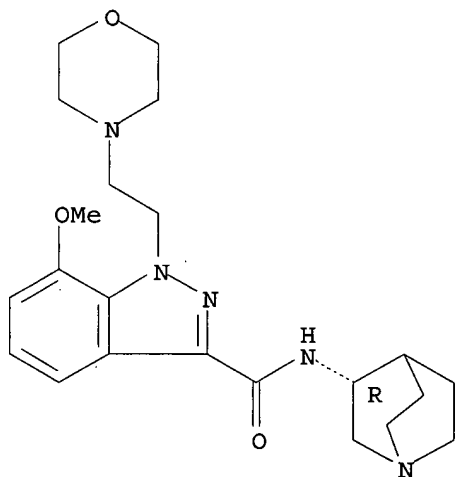
IT 354570-70-0P

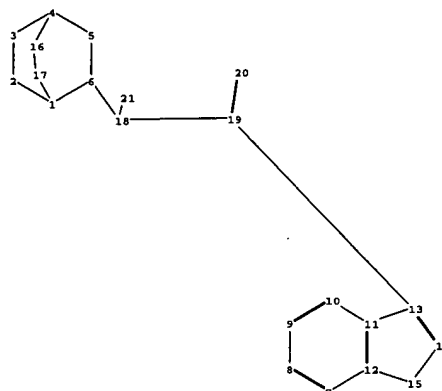
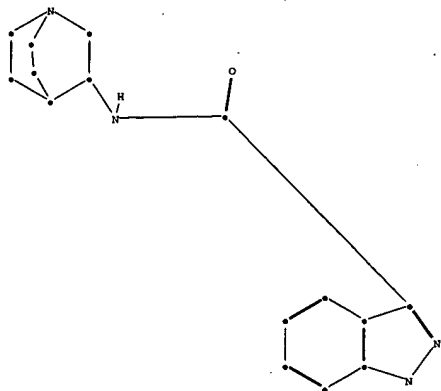
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-indole-3-carboxamides, 1H-indazole-3-carboxamides, 1H-pyrido[4,3-b]indol-1-ones and pyrrolo[1,2,3-de]-1,4-benzoxazine-6-carboxamides as cannabinoid receptor modulators for treating respiratory and non-respiratory diseases)

RN 354570-70-0 CAPLUS

CN 1H-Indazole-3-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-7-methoxy-1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





chain nodes :

18 19 20 21

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds :

6-18 13-19 18-19 18-21 19-20

ring bonds :

1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 7-8 7-12 8-9 9-10 10-11 11-12 11-13 12-15 13-14 14-15
16-17

exact/norm bonds :

1-2 1-6 1-17 2-3 3-4 4-5 4-16 5-6 6-18 12-15 13-14 14-15 16-17 18-19 19-20

exact bonds :

11-13 13-19 18-21

normalized bonds :

7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS